WHAT IS CLAIMED IS:

1. A process for preparing a compound of the formula (VII)

Y-O-N
$$R_{2}$$

$$R_{3}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{5}$$

$$R_{5}$$

$$R_{5}$$

$$R_{1}$$

$$R_{1}$$

$$R_{1}$$

$$R_{1}$$

comprising Step 1A:

contacting a compound of the formula (I)

$$Z \cdot HN \qquad R_4 \qquad R_5 \qquad N \qquad (I)$$

with a base in a suitable solvent to form the free base of compound (I), i.e., compound (II) of the formula (II)

followed by Step 1B:

contacting compound (II) with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula (III)

followed by Step 2A:

contacting compound (III) with a formylating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)

OHC
$$R_4$$
 R_5
OH
(IV)

followed by Step 2B:

contacting compound (IV) with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V)

followed by Step 3:

contacting compound (V) with a compound of formula (VI)

$$\begin{array}{c}
X \\
HN \\
S \\
O \\
NH \\
-R_1
\end{array}$$
(VI)

in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)

wherein

Y is a hydroxy protecting group;

each of R_2 , R_3 , R_4 and R_5 is, independently, hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl;

G is -O⁹metal⁹ or -OH•amine;

X is $-CH_{2^-}$, $-S_-$, $-CH(OH)_-$, $-CH(OR)_-$, $-CH(SH)_-$, $-CH(SR)_-$, $-CF_{2^-}$, $-C=N(OR)_-$ or $-CH(F)_-$; R is alkyl;

R₁ is aryl or heteroaryl;

Z is a strong organic or inorganic acid; and

n is 0-3, provided that when n is 0, X is -CH₂-.

- 2. The process of Claim 1 followed by Step 4, contacting the compound of formula VII, wherein R₁ is heteroaryl having an N heteroatom, with an oxidizing agent to form the corresponding N-oxide derivative.
- 3. The process of Claim 2 followed by the additional step of removing the hydroxyl protecting group of compound VII to form the compound of formula VIII:

wherein R_1 , R_2 , R_3 , R_4 , R_5 , X and n are as defined above.

4. The process of Claim 1,

wherein

each of R₂, R₃ and R₅ is hydrogen;

R₄ is butyl;

X is -CH₂-;

n is 1;

Y is benzyl or t-butyldimethylsilyl; and

R₁ is of the formula

wherein

R₆ and R₉ are hydrogen;

R₇ is hyd rogen or C₁₋₇alkyl; and R₈ is hyd rogen, halogen or C₁₋₇alkyl.

5. The process of Claim 4,

wherein

 R_7 is hydrogen; and R_8 is fluoro.

6. The process of claim 1, wherein R₁ is of the formula (XIa)

$$R_{\theta} \longrightarrow N^{+} O^{-}$$

$$R_{\eta} \longrightarrow R_{\theta}$$

$$R_{\theta} \longrightarrow R_{\theta}$$
(XIa)

each of R₂, R₃ and R₅ is hydrogen;

R4 is butyl;

X is - CH_2 -;

n is 1;

Y is benzyl or t-butyldimethylsilyl;

Re and Re are hydrogen;

R₇ is hydrogen or C₁₋₇alkyl; and

R₈ is hydrogen, halogen or C₁₋₇alkyl.

- 7. The process of Claim 6 wherein R_8 is halo or ethyl.
- 8. The process of Claim 6 wherein R_7 is hydrogen and R_8 is fluoro.
- 9. The process of Claim 1 wherein

for Step 1A the temperature is about 10° C to about 40° C, the water soluble base is sodium carbonate, sodium bicarbonate, potassium carbonate, potassium bicarbonate, or an alkaline metal hydroxide, and the solvent is water/ethyl acetate,

for Step 1B the temperature is about -10° C to about 10° C, the strong nucleophile/weak base is lithium hydroperoxide, and the solvent is THF/water,

for Step 2A the temperature is about -20° C to about 20° C, the formyalting agent is formic acetic anhydride, and the solvent is ethyl acetate,

for Step 2B the temperature is about -5° c to about 40° C, the solvent is heptane and the G substituent is of the formula -OH•amine wherein the amine is dicyclohexylamine,

for Step 3 the temperature is about 10° C to about 40° C th solvent is water/ethyl acetate, and the coupling agent is EDCI/HOBt, and

for Step 4 the temperature is about 10° C to about 35° C, the solvent is ethyl acetate and the oxidizing agent is urea/hydrogen peroxide with phthalic anhydride or magnesium monoperoxyphthalate.

10. A process comprising

contacting a compound of the formula (I)

$$Z \cdot HN \qquad R_4 \qquad R_5 \qquad N \qquad (i)$$

with a base in a suitable solvent to form compound (II) of formula

wherein

Y is a hydroxy protecting group;

each of R_2 , R_3 , R_4 and R_5 is, independently, hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl;

and Z is a strong organic or inorganic acid.

11. A process comprising

contacting compound (II) of the formula

with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula

wherein

Y is a hydroxy protecting group; and

each of R_2 , R_3 , R_4 and R_5 is, independently, hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl.

12. A process comprising

contacting compound (III) of the formula

$$\begin{array}{c|c}
HN & R_4 & R_6 \\
 & & OH \\
 & & & OH
\end{array}$$
(III)

with a formylating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)

$$\begin{array}{c|c}
\text{OHC} & R_4 & R_5 \\
N & R_2 & R_3 & O
\end{array}$$
(IV)

wherein

Y is a hydroxy protecting group; and

each of R_2 , R_3 , R_4 and R_5 is, independently, hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl.

13. A process comprising

contacting compound (IV) of the formula

with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V)

OHC
$$R_4$$
 R_5
OH • G
 R_2
 R_3
 R_5
OH • G

wherein

Y is a hydroxy protecting group;

each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl; and G is -O^ometal^e or -OH•amine.

14. A process comprising

contacting compound (V) of the formula

OHC
$$R_4$$
 R_5 OH G (V)

with a compound of formula (VI)

$$(CH_2)_n$$
 (VI)

in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)

Y-O-N
$$R_{2}$$

$$R_{3}$$

$$R_{3}$$

$$R_{4}$$

$$R_{5}$$

$$R_{5}$$

$$R_{5}$$

$$R_{5}$$

$$R_{1}$$

$$R_{1}$$

$$R_{1}$$

wherein

Y is a hydroxy protecting group;

each of R_2 , R_3 , R_4 and R_5 is, independently, hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a $C_{4.7}$ cycloalkyl;

G is -O^ometal^o or -OH•amine;

X is -CH $_2$ -, -S-, -CH(OH)-, -CH(OR)-, -CH(SH)-, -CH(SR)-, -CF $_2$ -, -C=N(OR)- or -CH(F)-; R is alkyl; R $_1$ is aryl or heteroaryl; and n is 0-3, provided that when n is 0, X is -CH $_2$ -.